EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
Ll	653	548/530.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:01
L2	250	548/530.ccls. and 514/423.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:28
L3	36	548/530.ccls. and 514/423.ccls. and 514/424.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:28
L4	4	548/530.ccls. and 514/423.ccls. and 514/424.ccls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
L5	25	548/530.ccls. and 514/423.ccls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
L6	25	548/530.ccls. and 514/423.icls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
SI	1	("4379785").PN.	USPAT; USOCR	OR	OFF	2006/07/21 13:01
S2	1	("5264449").PN.	USPAT; USOCR	OR	OFF	2006/07/21 11:09

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
                 "Ask CAS" for self-help around the clock
NEWS
     2
     3
NEWS
        FEB 27
                 New STN AnaVist pricing effective March 1, 2006
NEWS
        APR 04
                 STN AnaVist $500 visualization usage credit offered
     5
NEWS
        MAY 10
                CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS
     6 MAY 11
                 KOREAPAT updates resume
NEWS
     7
        MAY 19
                 Derwent World Patents Index to be reloaded and enhanced
        MAY 30
NEWS 8
                 IPC 8 Rolled-up Core codes added to CA/CAplus and
                 USPATFULL/USPAT2
NEWS 9 MAY 30
                 The F-Term thesaurus is now available in CA/CAplus
         JUN 02
NEWS 10
                 The first reclassification of IPC codes now complete in
                 INPADOC
         JUN 26
                 TULSA/TULSA2 reloaded and enhanced with new search and
NEWS 11
                 and display fields
NEWS 12
         JUN 28
                 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13
         JUl 11
                CHEMSAFE reloaded and enhanced
NEWS 14
         JUl 14
                FSTA enhanced with Japanese patents
NEWS 15
         JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS EXPRESS
            JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS
             STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
             Welcome Banner and News Items
NEWS IPC8
             For general information regarding STN implementation of IPC 8
NEWS X25
             X.25 communication option no longer available
```

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FILE 'HOME' ENTERED AT 10:21:50 ON 21 JUL 2006

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

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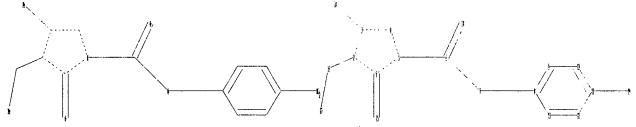
TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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Uploading C:\Program Files\Stnexp\Queries\10501743cl51.str



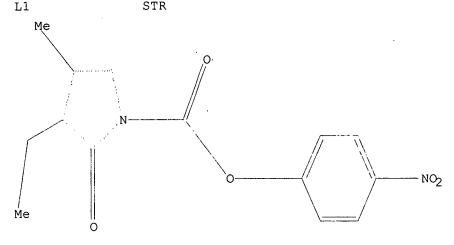
chain nodes:
6 7 14 15 16 17 18 19
ring nodes:
1 2 3 4 5 8 9 10 11 12 13
chain bonds:
1-15 2-16 3-18 5-6 6-7 6-14 7-8 11-19 16-17
ring bonds:
1-2 1-5 2-3 3-4 4-5 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds:
1-2 1-5 1-15 2-3 3-4 4-5 5-6 6-7 6-14 7-8
exact bonds:
2-16 3-18 11-19 16-17
normalized bonds:
8-9 8-13 9-10 10-11 11-12 12-13

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

L1 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 10:22:19 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 10:22:22 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 44 TO ITERATE

100.0% PROCESSED 44 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
166.94
167.15

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=> s 13

L4 1 L3

=> d ibib abs hitstr tot

```
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:551308 CAPLUS DOCUMENT NUMBER: 139:101018 TITLE: Preparation of trans-3-ethyl-2,5-dihydro-4-methyl-N-{2-
(4-[[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfon
yl]phenyllethyl]-2-oxo-lH-pyrrole-1-carboxamide
(qlimepiride) from 3-ethyl-4-methyl-3-pyrrolidin-2-
one, 4-nitrophenyl chloroformate, 4-[2-
aminoethyl]bezenesulfonamide, and trans-4-
methylcyclohexyl isocyanate.

INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni,
Rohit Ravikant
Source: Sun Pharmaceutical Industries Limited, India
PCT Int. Appl., 35 pp.
COOEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: PIXED
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                      PATENT NO.
                                                                                                     KIND DATE
                                                                                                                                                                                APPLICATION NO.
                                                                                                                                                                                                                                                                           DATE
```

						-									-		
wo	2003	0571	31		A2		2003	0717		WO 2	003-	IN4			2	0030	106
WO	2003	0571	31		A3		2003	0828									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,
		GM,	HR,	KU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	ΤZ,
		UA,	UG,	US,	UZ,	VC,	VN,	Yυ,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	А2,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DÉ,	DK,	EΕ,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SÍ,	SK,	TR,	BF,
		BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG	
AU	2003	235B	14		A1		2003	0724		AU 2	003-	2358	14		2	0030	106
US	2005	0705	93		A1		2005	0331		US 2	004-	5017	43		2	0040	630
PRIORIT	Y APP	LN.	INFO	.:						IN 2	002-	MU 9			A 2	0020	107
										WO 2	003-	IN4			W 2	0030	106

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

=> fil reg SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION 5.57 172.72 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE -0.75-0.75

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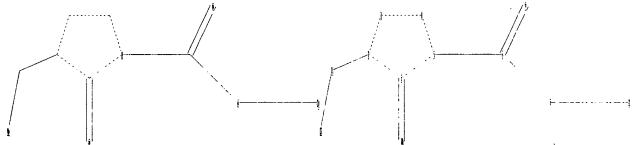
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http://www.cas.org/ONLINE/UG/regprops.html

=>

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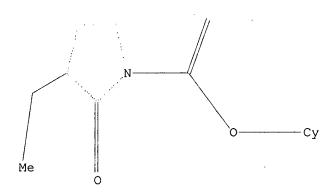


chain nodes:
6 7 8 9 10 11 12
ring nodes:
1 2 3 4 5
chain bonds:
1-10 2-11 5-6 6-7 6-9 7-8 11-12
ring bonds:
1-2 1-5 2-3 3-4 4-5
exact/norm bonds:
1-2 1-5 1-10 2-3 3-4 4-5 5-6 6-7 6-9 7-8
exact bonds:
2-11 11-12

Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS 11:CLASS 12:CLASS

STRUCTURE UPLOADED L5

=> d L5 HAS NO ANSWERS L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15SAMPLE SEARCH INITIATED 10:23:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -76 TO ITERATE

100.0% PROCESSED 76 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 997 TO 2043

PROJECTED ANSWERS: 0 TO

L6 O SEA SSS SAM L5

=> s 15 full FULL SEARCH INITIATED 10:23:41 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1564 TO ITERATE

100.0% PROCESSED 1564 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

2 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 167.38 340.10

SINCE FILE

TOTAL SESSION

CA SUBSCRIBER PRICE

ENTRY 0.00

-0.75

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=> s 17

L8 2 L7

=> d ibib abs hitstr tot

```
L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:551308 CAPLUS DOCUMENT NUMBER: 139:101018 Freparation of
trans-3-ethyl-2,5-dihydro-4-methyl-N-(2-
```

[4-[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfon
yl]phenyl]ethyl]-2-oxo-lH-pyrrole-1-carboxamide
(glimepiride) from 3-ethyl-4-methyl-3-pyrrolidin-2one, 4-nitrophenyl chloroformate, 4-(2aminoethyl)bezenesulfonamide, and trans-4methylcyclohexyl isocyanate.

INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni,
Rohit Ravikant
SOURCE: PINTA SPI., 35 pp.
CODENT TYPE: Patent
LANGUAGE: English

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE KIND

A2 20030717 W0 2003-IN4 200301vb

A3 2003088

AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, ND, NZ, OM, PH,
RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
US, UZ, VC, VN, YU, ZA, ZM, ZW

KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

A1 20030724 AU 2003-235814
A1 20050331 US 2002-MU9 A 20030106 WO 2003057131 WO 2003057131
W: AE, AG, CO, CR, GM, HR, LS, LT, PL, PT, UA, UG, RW: GM, GM, KG, KZ, F1, FR, BJ, CF, AU 2003235814
US 2005070593 WO 2003057131

PRIORITY APPLN, INFO.:

WO 2003-IN4

OTHER SOURCE(s): CASREACT 139:101018; MARPAT 139:101018

AB Glimepiride was prepared by successive treatment of 3-ethyl-4-methyl-3pyrrolidin-2-one with XCOZR [X = halo, nitroaryl, haloaryl; Z = 0, S, NY;
Y = alkyl, haloalkyl, aralkyl; R = (substituted) aryl, heteroaryl,
4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl

4-(2-aminoethyl)benzemesuitonumic.
isocyanate.
561052-28-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of glimepiride from ethylmethylpyrrolidinone, nitrophenyl
chloroformate, aminoethylbezenesulfonamide, and methylcyclohexyl

chlorotormate, aminoethylpezenesuironamide, and methylcyclonexy isocyanate) 561052-28-6 CAPLUS H-Pyrrole-1-carboxylic acid, 3-ethyl-2,5-dihydro-4-methyl-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:632242 CAPLUS
DOCUMENT NUMBER: 115:232242 CAPLUS
115:23242 CAPLUS
115:232242 CAPLUS
115:23242 CAPLUS

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	CENT NO.			KIN	D	DATE	:	AP	PLICAT	'ION	NO.			DATE
					-									
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	R: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R, IT,	LI,	LU,	NL,	5	E
US	5264449			А		1993	1123	US	1989-	4349	29			19891113
CA	2027604			AA		1991	0514	CA	1990-	2027	604			19901015
ZΑ	9008386			A		1991	0828	ZA	1990-	8386				19901019
ΙĻ	96088			A1		1995	0330	IL	1990-	9608	8			19901023
ΑÙ	9066528			A1		1991	0516	AU	1990-	6652	8			19901109
ΑU	631025			B2		1992	1112							
NO	9004901			А		1991	0514	NO	1990-	4901				19901112
NO	177056			В		1995	0403							
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CN	1051730			А		1991	0529	CN	1990-	1091	10			19901113
CN	1026589			В		1994	11116							
JΡ	0318807	5		A2		1991	0816	JP	1990-	3084	28			19901113
ΗU	56360			A2		1991	0828	HU	1990-	7116				19901113
Hυ	207512			В		1993	0428							
TT	APPLN.	INFO						us	1989-	4349	29	,	A	19891113

OTHER SOURCE(S): MARPAT 115:232242

AB The title compds. $\{(3R, 4R) \cdot I; R1 = CO2R; R = (un)$ substituted hydrocarbyl $\}$ were prepared Thus, 4-(Me3C)C6H4CH2OH was condensed with ClCO2C6H4(NO2)-4 and the product condensed with I (R1 = H) to give I (R1 = CH2C6H4(CMe3)-4)

which gave apprx.1.25 mm decrease in rabbit pupil diameter 6 h after administration of a 1% solution. An ophthalmic prepn comprising I is given. IT 137140-89-7P

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: SPN (Synthetic preparation): PREP (Preparation)
(prepn. of, as antiglaucoma agent)
137140-89-7 CAPLUS
1-Pyrrolidinecarboxylic acid, 3-ethyl-4-{(1-methyl-1H-imidazol-5-yl)methyl)-2-oxo-, bicyclo[2.2.1]hept-2-yl ester (9CI) (CA INDEX NAME)

=> fil reg COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 10.68 350.78 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SESSION ENTRY -2.25CA SUBSCRIBER PRICE -1.50

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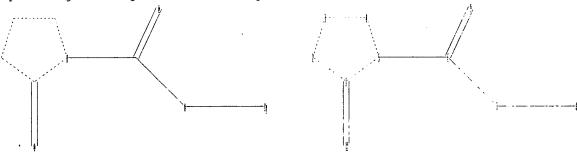
TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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Uploading C:\Program Files\Stnexp\Queries\10501743f.str



chain nodes :
6 7 8 9 10
ring nodes :
1 2 3 4 5
chain bonds :
1-10 5-6 6-7 6-9 7-8
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-10 2-3 3-4 4-5 5-6 6-7 6-9 7-8

Match level :

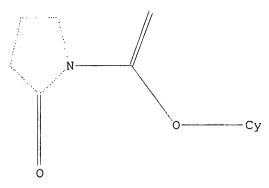
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS

STRUCTURE UPLOADED L9

=> d

L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 10:24:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 421 TO ITERATE

6 ANSWERS 100.0% PROCESSED 421 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 7189 TO 9651

PROJECTED ANSWERS: 6 TO 266

L10 6 SEA SSS SAM L9

=> s 19 full

.FULL SEARCH INITIATED 10:24:40 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 8623 TO ITERATE

100.0% PROCESSED 8623 ITERATIONS 163 ANSWERS

SEARCH TIME: 00.00.01

163 SEA SSS FUL L9 L11

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 166.94 517.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION FILE 'CAPLUS' ENTERED AT 10:24:42 ON 21 JUL 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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=> s 111 L12 82 L11

=> fil reg
COST IN U.S. DOLLARS

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SINCE FILE TOTAL
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CA SUBSCRIBER PRICE

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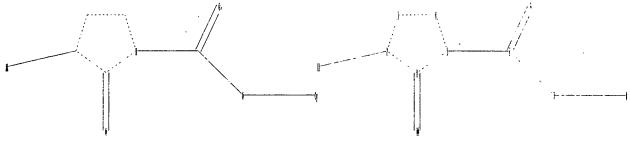
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http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10501743g.str

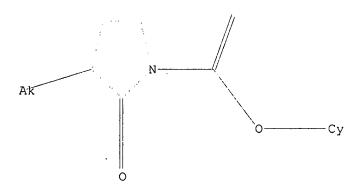


chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
1-10 2-11 5-6 6-7 6-9 7-8
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-10 2-3 2-11 3-4 4-5 5-6 6-7 6-9 7-8

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS 11:CLASS

L13 STRUCTURE UPLOADED

=> d L13 HAS NO ANSWERS L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 113

SAMPLE SEARCH INITIATED 10:25:25 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 421 TO ITERATE

100.0% PROCESSED 421 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

7189 TO 9651

PROJECTED ANSWERS:

3 TO 163

L14

3 SEA SSS SAM L13

=> s 113 full

FULL SEARCH INITIATED 10:25:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8623 TO ITERATE

100.0% PROCESSED 8623 ITERATIONS

19 ANSWERS

3 ANSWERS

SEARCH TIME: 00.00.01

L15 19 SEA SSS FUL L13

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 166.94 685.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION -2.25

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=> s 115

L16 13 L15

=> d ibib abs hitstr tot

L16 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:1342994 CAPLUS

2005:1342994 CAPLUS 144:232895 DOCUMENT NUMBER

144:232895 Enantioselective TADMAP-Catalyzed Carboxyl Migration Reactions for the Synthesis of Stereogenic Quaternary TITLE:

AUTHOR (S): Shaw, Scott A.: Aleman, Pedro: Christy, Justin:

Jeff W.; Va, Porino: Vedeja, Edwin
Department of Chemistry, University of Michigan, Ann
Arbor, MI, 48109, USA
Journal of the American Chemical Society (2006),
128(3), 925-934
CODEN: JACSAT: ISSN: 0002-7863
American Chemical Society
Journal
English
CASREACT 144:232895 CORPORATE SOURCE:

SOURCE .

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

Nonracemic triphenylacetoxyethyldimethylaminopyridines I (R = H, AcO; R1

AcO, H) (TADMAP) and their racemate are prepared; I (R = H, AcO; R1 =

H) are used as catalysts in the rearrangement of oxazolyl, benzofuranyl, furanyl, and indolyl enol carbonates to yield nonracemic azlactones, lactams and lactones. Lithium-bromine exchange of 3-bromo-4-(dimethylamino)pyridine, addition of the pyridinyllithium reagent to triphenylacetaldehyde (prepared by reduction of triphenylacetic acid and selective oxidation), and quenching of the intermediate alkowide by acetylation with acetic anhydride yields the racemate of I (R = H, Aco;

R1 = AcO, H); the concentration, inverse addition procedure, temperature control during addition, and quench with acetic anhydride rather than water are important in obtaining good yields of the racemate of I (R = H; R1 = AcO) from the addition reaction and of avoiding fragmentation of the intermediate

addition reaction and of avoiding tragmentation of the second state of the second stat

L16 ANSWER 2 OF 13 CAPLUS - COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1235760 CAPLUS
DOCUMENT NUMBER: 144:6787
TITLE: Pyrrolobenzimidazolones and their use as

INVENTOR (S):

Pyrroiobenzimicazolones and their use as antiproliferative agents McConnel, Darryl: Steurer, Steffen: Krist, Bernd; Weyer-Czerniofsky, Ulrike: Impagnatiello, Maria: Treu, Matthias: Kauffmann-Hefner, Iris: Garin-Chesa, Pilar: Schnapp, Andreas Boehringer Ingelheim International G.m.b.H., Germany Eur. Pat. Appl., 59 pp. CODEN: EPXXDW

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English

ANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 1598353 A1 20051123 EP 2004-11703 20040517

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,

L16 ANSWER I OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) crystal structures of the monoethenol solvate of the di-O-benzoyl-L-tartaric acid salt of I (R = ACC; RI = H) and of a bromophenyl oxofurancerboxylate are detd. by X-ray crystallog. Modeling studies (B3INF/6-3167) are used for qual. correlations of catalyst conformation, reactivity, and enentioselectivity. 3-Methylindole (used to prep. indolyl

yr enol carbonates) has a strong fecal odor and should be handled with

caution. 627877-90-1P 876337-66-5P

RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of nonracemic oxindoles by enantioselective

rearrangements of

rangements of
 indolyl enol carbonates in the presence of a nonracemic
 trityl-substituted dimethylaminopyridinemethanol)
627877-90-1 CAPLUS
1H-Indole-1,3-dicarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, diphenyl
ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

876337-66-5 CAPLUS

HH-Indole-1, 3-dicarboxylic acid, 2,3-dihydro-3-methyl-2-oxo-, diphenyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 110 FORMAT

L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I [R1 = (un)substituted alkyl, carbocyclic aryl, biarylalkyl, etc.; R2 and R3 independently = H, (un)substituted alkyl,

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L16 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

```
L16 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2003:797111 CAPLUS DOCUMENT NUMBER: 140:4940 TITLE: Develonment of Carlotter
                                                                      Development of Chiral Nucleophilic Pyridine
 Catalysts:
                                                                      Applications in Asymmetric Quaternary Carbon
                                                                    Shaw, Scott A.: Aleman, Pedro: Vedejs. Edwin
Department of Chemistry, University of Michigan. Ann
Arbor, MI, 48109, USA
Journal of the American Chemical Society (2003),
125(44), 13368-13369
CODEN: JACSAT: ISSN: 0002-7863
American Chemical Society
Journal
English
Synthesis
AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
            ROURCE(S): English
R SOURCE(S): CASREACT 140:4940
2,2,2-Triphenyl-1-acetoxyethyl(dimethylamino)pyridine (TADMAP), bearing a
C(3)-benzylic trityl group over one face of the pyridine ring with a
C(3)-benzylic acetoxy group creating a chirotopic environment on the
 other
             r
face, was designed as a chiral ligand and prepared in four steps (371
overall) from triphenylacetic acid and (dimethylamino)pyridine. TADMAP
catalyzes the enantioselective rearrangement of heterocyclic enol
carbonates to lactone- or lactam-based esters, e.g. oxazolyl carbonates
             azlactones, furanyl Ph carbonate to the 3-phenoxycarbonyl 2-furanone, benzofuranyl carbonates to benzofuranones, and indulyl carbonates to oxindoles. These products are formed in good yields and. In most cases, with practical levels of enantiomeric excess at the newly formed quaternary carbon center. Crystal structure of the complex of (S)-TADMAP with (L)-dibenzoyltartaric acid is also reported. 627877-90-1P
IT 627877-90-1P
RI: SPN (Synthetic preparation): PREP (Preparation)
(asym. synthesis of lactone and lactam-based esters via
enantioselective rearrangement/acyl migration of heterocyclic enol
carbonates catalyzed by chiral
triphenylacetoxyethyl(dimethylamino)pyri
dine)
             emylactioxyethyl (dimethylamino)pyll
dine)
627877-90-1 CAPLUS
1H-Indole-1,3-dicarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, diphenyl
ester, (38)- (9CI) (CA INDEX NAME)
  Absolute stereochemistry.
  REFERENCE COUNT:
THIS
                                                                      66
                                                                                       THERE ARE 66 CITED REFERENCES AVAILABLE FOR
                                                                                        RECORD. ALL CITATIONS AVAILABLE IN THE RE
  FORMAT
 L16 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:551308 CAPLUS DOCUMENT NUMBER: 139:101018 TITLE: Preparation of trans-3-ethyl-2,5-dihydro-4-methyl-N-[2-
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                             APPLICATION NO.
               PATENT NO.
                                                                        KIND
                                                                                          DATE
                                                                                                                                                                                             DATE
WO 2003-IN4
                                                                                                                                                                                    W 20030106
OTHER SOURCE(s): CASREACT 139:101018; MARPAT 139:101018

AB Glimepiride was prepared by successive treatment of 3-ethyl-4-methyl-3-pyrrolidin-2-one with XCOZR (X = halo, nitroaryl, haloaryl; Z = 0, S, NY; Y = alkyl, haloalkyl, aralayl; R = (substituted) aryl, heteroaryl, 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.

IT 561052-28-6P

RE: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(preparation of glimepiride from ethylmethylpyrrolidinone, nitrophenyl chloroformate, aminoethylbezenesulfonamide, and methylcyclohexyl isocyanate)

RN 561052-28-6 CAPLUS

ON 1H-Pyrrole-1-carboxylic acid, 3-ethyl-2,5-dihydro-4-methyl-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)
```

L16 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L16 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L16 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2000:528077 CAPLUS DOCUMENT NUMBER: 133:309814 TITLE: Synthesis of

DOCUMENT NUMBER: 133:309814

TITLE: Synthesia of
1,3-Dilalkoxy(aryloxy)carbonyl]-2-oxo-2,3dihydroindoles
AUTHOR(S): Porcs-Makkay, M.; Argay, G.; Kalman, A.; Simig, G.
CORPORATE SOURCE: Chemical Research Division, EGIS Pharmaceuticals

Ltd.,

Budapest, H-1475, Hung. Tetrahedron (2000), 56(32), 5893-5903 CODEN: TETRAB: ISSN: 0040-4020 Elsevier Science Ltd. SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

MENT TYPE: Journal
JACE: English
R SOURCE(S): CASREACT 133:309814
Two protocols were developed for the synthesis of 1,3di[alkoxy[aryloxy]carbonyl]-2-oxo-2,3-dihydroindoles starting from the
corresponding N,0-diacyl derivs. obtained by treatment of 2-oxindoles

chloroformic acid esters and NEt3. The lst is rearrangement of N,O-diacylated compds in the presence of 4-dimethylaminopyridine to give N,C(3)-diacylated products with identical acyl groups in the two positions. The 2nd involves O-deacylation of the N,O-diacylated compds., followed by O-acylation and rearrangement resulting N,C(3)-diacylated 2-oxindoles with different acyl groups in the two positions. 301700-67-4P 301700-68-5P

IT

301700-67-4P 301700-68-5P
RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation of)
301700-67-4 CAPLUS
HI-Indole-1,3-dicarboxylic acid, 5-chloro-2,3-dihydro-2-oxo-, 3-ethyl
1-phenyl eater (9CI) (CA INDEX NAME)

RN CN

301700-68-5 CAPLUS
IH-Indole-1.3-dicarboxylic acid, 5-chloro-2,3-dihydro-2-oxo-, 3-methyl
1;phenyl ester (9CI) (CA INDEX NAME)

L16 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:757325 CAPLUS
DOCUMENT NUMBER: 132:107844
TITLE: New Practical Synthesis of Tenidap
AUTHOR(S): Porcs-Makkay, Marta: Simig, Gyula
CORPORATE SOURCE: Chemical Research Division, EGIS Pharmaceuticals CORPORATE SOURCE:

CORPORATE SOURCE:

Chemical Research Division, EGIS Pharmaceuticals

Ltd.,

Budapest, H-1475, Hung.

Organic Process Research & Development (2000), 4(1),
10-16

CODEN: OPRDEM: ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LINGUAGE: English

AB The development of a new, practical synthesis to tenidap is described.

N,O-dialkoxy(aryloxy)carbonylation of 5-chloro-2-oxo-2,3-dihydroindole, followed by removal of the O-alkoxy(aryloxy)carbonyl group gave

1-lalkoxy(aryloxy)carbonyl)-5-chloro-2-oxo-2,3-dihydroindoles in good yields. The latter compals. were thenoylated in the 3-position. The role of DMAP in the acylation reaction is discussed. The structures of the thenoylated products and their enolate salts were investigated both in solution and solid phases. Ammonolysis of 5-chloro-3-[1-hydroxy-1-(2-thienyl)methylemel-2-oxo-1-phenoxycarbonyl-2,3-dihydroindole affored the corresponding 1-catoxy- and 1-methoxycarbonyl derivs. could not be similarly transformed to tenidap: loss of the alkoxycarbonyl molety occurred instead of carbomylation.

IT 255712-75-5F

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(practical synthesis of tenidap)

RN 255712-75-5 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-2-oxo-3-(2-thienylcarbonyl)-, phenyl ester, ion(1-), ammonium (9CI) (CA INDEX NAME)

● NHa

REFERENCE COUNT: THIS

THERE ARE 20 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L16 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1999:476793 CAPLUS DOCUMENT NUMBER: 131:257399

DOCUMENT NUMBER:

Asymmetric desymmetrization of meso-pyrrolidine derivatives by enantiotopic selective CH TITLE:

hydroxylation

using (salen)manganese(III) complexes Punniyamurthy, T.: Katsuki, Tsutomu Department of Molecular Chemistry, Graduate School of Science, Kyushu University 33, Fukuoka, 812-8581, Japan AUTHOR(S): CORPORATE SOURCE:

Science, Kyushu University 33, Fukuoke Japan Tetrahedron (1999), 55(31), 9439-9454 CODEN: TETRAB: ISSN: 0040-4020 Elsevier Science Ltd. Journal SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S): CASREACT 131:257399

Chiral (salen)manganese(III) complexes, e.g. I·PF6-, catalyzed the asym. desymmetrization of N-protected meso-pyrrolidine derivs., e.g. II, by enantiotopic selective CH oxidation in the presence of terminal ant

indosylbenzene. The oxidation occurred chemoselectively at the carbon α to the nitrogen atom to afford optically active hydroxypyrrolidine deriva.. e.g. III, that were further oxidized to chiral lactams with

reagent. The N-protecting groups of the meso-pyrrolidine derivs. have notable effect on the enantioselectivity. 245037-06-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

L16 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:679082 CAPLUS
DOCUMENT NUMBER: 127:318879
Preparation of tenidap.
Blasko, Gabor: Lukacs, Gyula: Reiter, Jozsefne;
Florian, Endrene; Porcs-Makkay, Marta: Mezei, Tibor:
Simig, Gyula
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.: Blasko, Gabor: Lukacs,
Gyula: Reiter, Jozsefne: Florian, Endrene:
Porcs-Makkay, Marta: Mezei, Tibor: Simig, Gyula
SOURCE: Ports-Makkay, Marta: Mezei, Tibor: Simig, Gyula
PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

MO 9736895

N: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, ST, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, CN, ML, MR, ME, SN, TD, TG

AU 9721735

A1 19971022

AU 1997-21735

A1 19970023

PRIORITY APPLN: INFO::

A 1 19970023

AU 1996-855

A 19960403

WO 1997-HU13 w 19970403

OTHER SOURCE(S): CASREACT 127:318879

AB Preparation of tenidap by 4 methods is claimed. Thus,
1-phenoxycarbonyl-5chloro-3-(2-thienoyl)-2-oxindole (preparation given) was stirred with

chloro-3-(2-thienoy1)-2-oxingole (playelell...)

ammonium
carbonate in DMF for 5 h at 75-80° to give 80.53% tenidap.

IT 19776-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of tenidap)
RN 197776-11-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-2,3-dihydro-2-oxo-3-(2-thienylcarbonyl)-, phenyl ester (9CI) (CA INDEX NAME)

L16 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of optically active lactams via enantioselective hydroxylation of meso-pyrrolidines catalyzed by chiral (salen)manganese(III)

complexes) 245037-06-3 CAPLUS

1-Pyrrolidinecarboxylic acid, 3,4-dimethyl-2-oxo-, phenyl ester, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: THIS

THERE ARE 33 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L16 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:697870 CAPLUS

DOCUMENT NUMBER: 126:84078

AUTHOR(S): Nader, G.; Delimoge, I.; Lahouratate, P.; Leger, I.;

Morvan, M.; Zimmermann, R. G.

CORPORATE SOURCE: Unite Recherche, SmithKline Beecham Laboratoires

Pharmaceutiques, Saint-Gregoire, 35762, Fr.

European Journal of Medicinal Chemistry (1996),

31(10), 805-812

COODN: EJMCAS; ISSN: 0223-5234

Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:84074

AB In pyridazinone or thiadiazinone cardiotonic agents with one chiral
center, the PDE inhibitory action resides, mainly in one enantiomer and
the myofibrillar calcium sensitization mainly in the other. This
phenomena is observed when the chiral center is located on the

pyridazinone

or thiadiazinone heterocycle, but cannot be extended to atructures where
the chiral center is elsewhere on the mol. For the first time a
stereoselective synthesis of a 5-substituted

3,6-dihydro-6-methyl-2H-1,3,4
thiadiazine-Z-one has been achieved and an absolute configuration is
proposed.

IT 185199-19-9 185199-19-3P 185199-21-7P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT
(Reactant or reagent)
(intermediate: stereospecificity of myofibrillar calcium sensitivity
and phosphodiesterase inhibition in cardiotonic thiadiazin-r>y-1-2,3dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexylloxylcarbonyll-2-oxo-2H-1,3,4-thiadiazin-ry-y-1-2,3dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexyl ester,
(IR-[10-(1R-7,2S-7,SR+7),2B,5n])-[partial]- (9CI) (CA INDEX

Absolute stereochemistry.

185199-19-3 CAPLUS
1H-Indole-1-carboxylic acid, 5-[3,6-dihydro-6-methyl-3-[([5-methyl-2-(1-methyl-1yl)cyclohexyl]oxylcarbonyl]-2-oxo-2H-1, 3,4-thiadiazin-5-yl]-2,3-dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexyl ester, [Hr-[1ar,2s-,8r]),2,8-5)[-(50] (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L16 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

185199-21-7 CAPLUS IN-Indole-1-carboxylic acid, 5-{3,6-dihydro-6-methyl-3-[[[5-methyl-2-(1-methyl-kyl)cyclohexyl]oxylcarbonyl]-2-oxo-2H-1,3,4-thiadiazin-5-yl]-2,3-dihydro-3,3-dimethyl-2-oxo-. 5-methyl-2-(1-methylethyl)cyclohexyl ester, [IR-[1a]5*(IR-28*,5R*)],28,5(a)]- (SCI (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: THIS

40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L16 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L16 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1995:701861 CAPLUS DOCUMENT NUMBER: 123:111848

DOCUMENT NUMBER: TITLE:

N-(aza heterocycle)carbonyl-substituted indolones useful as serotonergic agents
Becker, Daniel P.; Flynn, Daniel L.; Villamil, Clara

INVENTOR (S):

I.
G. D. Searle and Co., USA
U.S., 15 pp.
CODEN: USXXAM PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5399562 PRIORITY APPLN. INFO.: 19950321 US 1994-191840 US 1994-191840 19940204 19940204 А

OTHER SOURCE(S): MARPAT 123:111848

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

This invention relates to indolone compds. of the formula I or a pharmaceutically acceptable salt thereof wherein Z is selected from the group consisting of II-XI: R1 and R2 are independently H, halogen, alkyl, aralkyl, anino, alkowy, alkylthio, acylamino, hydroxy, nitro, aminocarbonyl, or aminosulfonyl: R3 and R4 are independently H, C1-6 alkyl, or together comprise C2-5 cycloalkyl, optionally substituted by C1-6 alkyl; X = NR5 or O: n is O, 1 or 2: and R5 is hydrogen or alkyl or one to six carbon atoms which are useful as 5-HT4 agonists or antagonists and 5-HT3 antagonists. Thus, e.g., reaction of endo-3-aminotropane with triphosgene and 1, 3-dihydro-3, 3-dimethyl-2H-indol-2-one, followed by workup and HCl treatment afforded indolone XII which displayed 5-HT4 agonism in rat TM4 (tunica muscularis mucosae) in vitro assay of EC50 = 1214 nM: XII displayed 5-HT3 antagonism of Ki = 4.0 nM.
165379-27-1P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological logical study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (N-(aza heterocycle)carbonyl-substituted indolones useful as serotonergic agents) 165379-27-1 CAPLUS

HH-Indole-1-carboxylic acid, 2,3-dihydro-3,3-dimethyl-2-oxo-,8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L16 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:632242 CAPLUS
DOCUMENT NUMBER: 11991:632242 CAPLUS
115:22242
TITLE: Preparation of pilocarpine analogs as antiglaucoma agents
agents
Albaugh, Pamela
Bur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: EPXXDW
ENGLISHED STATEMENT OF THE PATENT INFORMATION: 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.			KIN	0	DATE		AP	PLICAT	ION NO),		DATE
					-								
EP 429	232			A1		1991	0529	ΕP	1990-	312351			19901113
R:	AT,	BE,	CH,	DE,	DK	, ES,	FR,	GB, G	R, IT,	LI, I	U, NL,	S	E
US 526	4449			A		1993	1123	US	1989-	134929)		19891113
CA 202	7604			AA		1991	0514	CA	1990-	202760	14		19901015
ZA 900	8386			Α		1991	0828	ZA	1990-	386			19901019
IL 960	88			A1		1995	0330	IL	1990-	88099			19901023
AU 906	6528			A1		1991	0516	ΑU	1990-	66528			19901109
AU 631	025			B2		1992	1112						
NO 900	4901			A		1991	0514	NO	1990-	1901			19901112
NO 177	056			В		1995	0403						
NO 177	056			С		1995	0712						
RU 201	5978			C1		1994	0715	RU	1990-	483175	0		19901112
CN 105	1730			А		1991	0529	CN	1990-	109110	,		19901113
CN 102	6589			В		1994	1116						
JP 031	88075			A2		1991	0816	JP	1990-	308428			19901113
HU 563	50			A2		1991	0828	HŲ	1990-	7116			19901113
HU 207	512			В		1953	0428						
TTY AP	DI.N	INFO						211	1989-	134920		м	19891117

OTHER SOURCE(S): MARPAT 115:232242

AB The title compds. [(3R, 4R)-I: R1 = COZR: R = (un)substituted hydrocarbyl] were prepared Thus, 4-{Me3C}C6H4CH2OH was condensed with ClCOZC6H4(NOZ)-4

and the product condensed with I (R1 = H) to give I [R1 = CH2C6H4(CMe3)-4]

684(CMe3)-4] which gave .apprx.1.25 mm decrease in rabbit pupil diameter 6 h after administration of a 1% solution. An ophthalmic prepn comprising I is

given. IT 137140-89-7P

L16 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antiglaucoma agent) RN 137140-89-7 CAPLUS (Continued)

137140-89-7 CAPLUS
1-Pyrrolidinecarboxylic acid, 3-ethyl-4-((1-methyl-1H-imidazol-5-yl)methyl)-2-oxo-, bicyclo[2.2.1]hept-2-yl ester (9CI) (CA INDEX NAME)

L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 131609-30-8 CAPLUS
CN HR-Indole-1-carboxylic acid, 2,3-dihydro-3,3-dimethyl-2-oxo-5-{1oxopropyl}-, cyclohexyl ester (9CI) (CA INDEX NAME)

131609-31-9 CAPLUS
1H-Indole-1-carboxylic acid, 5-(2-bromo-1-oxopropyl)-2,3-dihydro-3,3-dimethyl-2-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

ΙT

131609-56-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor)
131609-56-8 CAPLUS
1H-Indole-1-carboxylic acid, 5-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-2,3-dihydro-3,3-dimethyl-2-oxo-, cyclohexyl ester (9CI)
(CA INDEX NAME)

L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1991:62126 CAPLUS DOCUMENT NUMBER: 114:62126 Preparation of

114:62126
Preparation of oxindolylthiadiazinones and related compounds as phosphodiesterase inhibitors
Nadler, Guy; Martin, Michel; Zimmermann, Richard Laboratoires Beecham S. A., Fr.
Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 381374	A1	19900808	EP 1990-300778	19900125
R: CH, DE,	FR, GB, IT	, LI, NL		
JP 02288875	A2	19901128	JP 1990-15166	19900126
DRIODITY ADDIN INFO			CB 1699_1936 N	16000127

MARPAT 114:62126 OTHER SOURCE(S):

Title compds. I [RÎ = H, Cl-6 alkyl, CH2OR6, R6 = Ph-substituted aminocarbonyl, Ph-Cl-6-alkyl, H, Bz, etc.: R2, R3 = H, Cl-6 alkyl; W, Z = CR4R5, (CxCy)n; R4 = H, Cl-3 alkyl, Cl-3 alkylthio, etc.; R5 = Cl-3 AB

CANNO, (UXLU)n; R4 = H, Cl-3 alkyl, Cl-3 alkylthio, etc.; R5 = Cl-3

alkyl,

(substituted) Ph, PhS, etc.; R4R5 = 3-6-numbered carbocyclyl or
heterocyclyl, oxo, CH2; Rx, Ry = H, Cl-3 alkyl; n = 0, 1; R7 = H, Cl-6
alkyl, halo; X = O, S, A = S, O, NH] phosphodiesterase inhibitors useful
for treatment of heart disease and asthma, are prepared
5-12-Bromo-l-oxopropyl)-1-(cyclohexylmethyl)-1,d-dihydro-3,3-dimethyl-2Hindol-2-one (preparation given), MeCN, o-Me thiocarbonate and EtJN were
refluxed 2 h to give the thiadiazinone 11. Inhibition of cardiac
phosphodiesterase was demonstrated with II at 3 + 10-5 M, resulting
in a max ATPase activity of 11%.

IT 131609-30-8P 131609-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparation of phosphodiesterase
inhibitors)

- L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 303418	A2	19890215	EP 1988-307281	19880805
EP 303418	A3	19901107		
R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, LU, NL, SE	
DK 8804452	A	19890212	DK 1988-4452	19880809
AU 8820566	Al	19890216	AU 1988-20566	19880809
ZA 8805841	A	19890927	ZA 1988-5841	19880809
US 4933336	A	19900612	US 1988-230314	19880809
JP 01110681	A2	19890427	JP 1988-198136	19860810
PRIORITY APPLN. INFO.:			GB 1987-18957 A	19870811
			GB 1988-11276 A	19880512

OTHER SOURCE(S):

MARPAT 111:97293

The title compds. [I: R=Q: R1=H, lower alkyl, CH2OR6: R2. R3=H, lower alkyl: W. Z= different CR4R5, (CR8R9), R4=H, Cl-3 alkyl: Cl-3 alkyl: Cl-3 alkyl, Cl

1 or 2 ring O, N, or S; or R4R5 = O, CH2; R6 = H, lower alkyl, alkylcarbonyl, heterolarylcarbonyl, aralkylcarbonyl, (un)aubstituted CONH2; lower alkoxycarbonyl, aryloxycarbonyl; R7 = H, lower alkyl; R8, R5 = H, Cl-3

L16 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) alkyl; n = 0, 1; X = 0, S; A = 0, S] (II), were prepd.

5-[(2-Chloro-1-oxo)propyl]-spiro[cyclopropane-1, 3'-[3H]-indol]-2'-(1'H)-one (prepn. given), MeoC(S)NKINN2, and MeCN were refluxed 6 h to give 49% thiadiazinylindolone (III). III at 0.03 mg/kg p.o. showed cardiotonic activity in male beagle dogs with first deriv. of left ventricular pressure (dP/dt, mmHg/s) = +105 and heart rate (beats/min) = +21.

II 122280-93-7 PRL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cardiotonic and antiasthmatic)

RN 12280-93-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-2,3-dihydro-3,3-dimethyl-2-oxo-, phenyl ester (9CI) (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	66.89	752.01
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE	SINCE FILE ENTRY -9.75	TOTAL SESSION
CW DODOCKIDDK INTOD	3.73	12.00

STN INTERNATIONAL LOGOFF AT 10:25:48 ON 21 JUL 2006